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Structure-activity relationship studies of allylamine type of antimycotics were carried out to evaluate the effect of naphthyl and methyl portion of naftifine. Compounds with 4-fluorphenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 4-nitrophenyl, and 2,3-dihydro-benzo[1,4]dioxin-6-yl instead of naphthyl group with hydrogen, methyl, and ethyl in the place of methyl in naftifine were synthesized and tested their in vitro anti-fungal activity against five different fungi. Eight compounds showed significant antifungal activity against T. mentagrophytes. (E)-N-ethyl-(3-phenyl-2-propenyl)-4-nitro-benzenemethaneamine displayed moderate antifungal activity against all five different fungi.

[PD1-53] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Total Synthesis of New Apicidin Derivatives as Potent Antitumor Agents

hyungkyo kim°
Cheng Hua Jin, Jeong Whan Han, Hyang Woo Lee, Yin Won Lee, Ok Pyo Zee, Young Hoon Jung

The antiparasitic agent apicidin, which was recently isolated from cultures of Fusarium Pallidoroseum, belongs to a rare group of cyclictetrapeptide fungal metabolites. Apicidin inhibits protozoal HDAC and is orally active against Plasmodium berghei malaria in mice. The biological activity of apicidin appears to be attributable to inhibition of apicomplexan HDAC at low nanomolar concentrations. In the present, we have worked about the synthesis of new apicidin derivatives and discovered that apicidin and some derivatives have mild antitumor activity. They caused the change of tumor cells to normal ones in morphology. As part of our program toward the development of new antitumor agents, we designed and synthesized several cyclictetrapeptide compounds, especially the side chain moiety of Apicidin. A key step in this synthesis is the coupling reaction of ethylvinyl ketone and iodide, prepared from the appropriately protected L-serine.In this presentation, we will report the total synthesis of these Apicidin analogues.

[PD1-54] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Synthesis and BK_{Ca}-channel Opening Activity of Substituted 10-H-Benzo[4-5]furo[3,2-b]indole-carboxylic acids

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Large-conductance Ca^{2+} activated potassium channels (BK_{Ca}) are widely distributed and play key roles in various cell functions. In nerve cells, BK_{Ca} channels shorten the duration of action potentials and block Ca^{2+} entry thereby repolarizing excitable cells after excitation. BK_{Ca} channel opening has been postulated to confer neuroprotection during stroke and has attracted attention as a means for therapeutic intervention in asthma, hypertension, convulsion, and traumatic brain injury. Several novel benzofuroindole derivatives are prepared and evaluated as openers of the cloned BK_{Ca} channel macroscopic and single channel level rSlo channels expressed in Xenopus laevis oocytes by utilizing electrophysiological methods. From this study a potent BK_{Ca} channel opener (LDD 108) was identified as an effective opener in heterogeneous expression system.

[PD1-55] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Synthesis of Novel 3-(H or aralkyl)-1-phenyl-5-(p-H or halo)phenyl-2-thiohydantoins as Selective COX-2 Inhibitors

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Nonsteriodal antiinflammatory drugs(NSAIDs) are widely used to treat pain, fever, and inflammatory conditions